09/700278

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(FILE 'HOME' ENTERED AT 14:08:35 ON 02 JUL 2002)

FILE 'REGISTRY' ENTERED AT 14:08:41 ON 02 JUL 2002
L1 STRUCTURE UPLOADED
L2 3 S L1
L3 806 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:10:19 ON 02 JUL 2002
L4 378 S L3
L5 67 S L4 AND PATENT/DT
L6 23 S L5 AND PYRROLI?

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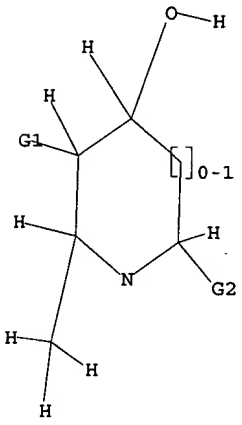

G1 C,H

G2 H,Cy,C

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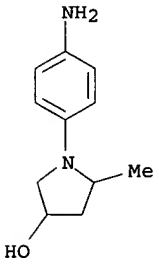
L6 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 2002:449464 CAPLUS
TI Oxidation dyeing composition based on 1-(4-aminophenyl) **pyrrolidines** substituted in positions 2 and 4
IN Terranova, Eric; Sabelle, Stephane; Vidal, Laurent
PA L'Oreal, Fr.
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT **Patent**
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002045672	A1	20020613	WO 2001-FR3571	20011114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2817473	A1	20020607	FR 2000-15843	20001206
PRAI FR 2000-15843	A	20001206		

AB The invention concerns an oxidn. dyeing compn. for keratinous fibers, in particular human keratinous fibers such as hair, comprising as oxidn. base a 1-(4-aminophenyl)**pyrrolidine** substituted in positions 2 and 4. The invention also concerns the method for oxidn. dyeing of keratinous fibers using said compns. Thus, 1-(4-aminophenyl)-4-hydroxypyrrolidine-2-carboxylic acid (I) was prepd. by hydrogenation of 1-(4-nitrophenyl)-4-hydroxypyrrolidine-2-carboxylic acid (prepn. given). A hair dye compn. contained I 6x10-3 mol, 1-beta-hydroxyethylxoy-2,4-diaminobenzene dihydrochloride 6x10-3, excipients and water q.s. 100 g. Equal amts. of the dye compn. is mixed with 20 vol. hydrogen peroxide and is applied on the hair for 30 min, the hair is then rinsed, washed with a shampoo, rinsed, and dried to obtain a light blue color.
IT **433917-88-5**
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(oxidn. dyeing compn. based on substituted aminophenylpyrrolidines)
RN 433917-88-5 CAPLUS
CN 3-Pyrrolidinol, 1-(4-aminophenyl)-5-methyl- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 2002:107157 CAPLUS
DN 136:167388
TI Preparation and use of quinolone and naphthyridine derivatives as inhibitors of cellular efflux pumps of microbes
IN De Souza, Noel J.; Patel, Mahesh V.; Gupta, Shrikant V.; Upadhyay, Dilip J.; Shukla, Milind C.; Chaturvedi, Nishith C.; Bhawsar, Satish B.; Nair, Sheela C.; Jafri, Mohammed A.; Khorakiwala, Habil F.
PA Wockhardt Limited, India
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2002009758	A2	20020207	WO 2001-IN139	20010731
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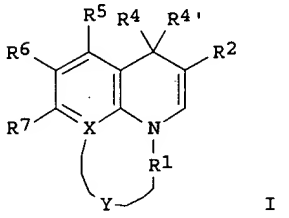
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-222201P	P	20000801		
	US 2000-640947	A	20000819		
	WO 2000-IN111	W	20001121		
	US 2001-286291P	P	20010425		
	US 2001-850669	A	20010507		
	WO 2001-IN100	A	20010508		
OS	MARPAT 136:167388				
GI					



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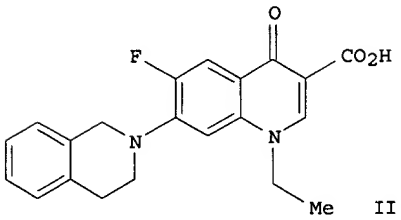


II

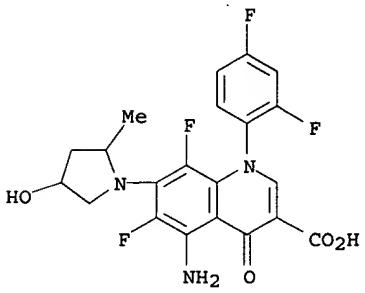
AB Title compds. I [R1 = H, (cyclo)alkyl, aryl, aralkyl, arylaminoalkyl, aryloxyalkyl, arylSO0-2alkyl or when X = C and the nitrogen atom to which R1 is linked forms an (un)substituted 4-7 membered ring with X of the adjacent ring, the ring optionally contg. one or more hetero atoms selected from N, O, S, said heteroatom(s) represented by Y; R2 = H, CHO, COOR3, CONHR13, where R13 = H or the NHR13 of CONHR13 is the residue of an amino acid; R3 = H, alkyl, cycloalkyl, aryl, aralkyl, arylaminoalkyl, aryloxyalkyl, arylSO0-2alkyl, O-carboxy, etc.; R4 = H; R4' = H or R4 and R4' taken together are :O, :S; R5 = H, alkyl, amino, alkylamino, acylamino; R6 = H, alkyl, halo, amino, hydroxy; R7 = OH, halo, NR9R10, etc.; R9-10 = H, alkyl, (CH2)nOA or R9 = H and R10 = 4-7 membered carbocyclic, heterocyclic ring linked to the nitrogen of NR9R10 through an atom of the heterocycle other than the heterocyclic atom, etc.; A = H, alkyl, glycosyl, aralkyl, alkanoyl, aminoalkanoyl wherein the aminoalkanoyl group may be an amino acid residue or A is C6H11O6, SO3H, PO3H2; X = CH, CF, CCl, CCH3, CCF3, COCH3, COCHF2, C-OCF3, N or when X is equal to C it forms together with the nitrogen atom of the adjacent ring an (un)substituted 5-7 membered ring contg. carbon atoms and optionally Y atoms representing one or more N, O, S] were prepd. For instance, a mixt. of 1-ethyl-6,7-difluoro-1,4-dihydro-4-oxoquinolone-3-carboxylic acid and 1,2,3,4-tetrahydroisoquinoline (DMSO, Et3N 140.degree.C, 24 h) provided, after work-up and trituration II as a solid (62% yield), m.p. 220.degree.C. II with ciprofloxacin had a fractional inhibitory concn. (FIC) index of 0.314 obsd. against S. aureus 1199 B (Nor A+). I are effective at inhibiting efflux pumps, e.g., MefA, MefE, Bmr, PmrA, etc.

IT **396132-42-6P**, 5-Amino-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-7-(3-hydroxy-5-methylpyrrolidin-1-yl)-4-oxoquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; prepn. and use of quinolone and naphthyridine derivs. as inhibitors of cellular efflux pumps of microbes)

RN 396132-42-6 CAPLUS

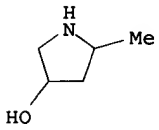
CN 3-Quinolinecarboxylic acid, 5-amino-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-7-(4-hydroxy-2-methyl-1-pyrrolidinyl)-4-oxo- (9CI) (CA INDEX NAME)



IT **94134-94-8**, 3-Hydroxy-5-methylpyrrolidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. and use of quinolone and naphthyridine derivs. as inhibitors of cellular efflux pumps of microbes)

RN 94134-94-8 CAPLUS

CN 3-Pyrrolidinol, 5-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2002 ACS

AN 2001:676565 CAPLUS

DN 135:247001

TI Oxidation dyeing composition for keratinous fibers and dyeing method using same

IN Lang, Gerard

PA L'Oreal, Fr.

SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DT **Patent**

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001066072	A1	20010913	WO 2001-FR663	20010306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2805738	A1	20010907	FR 2000-2858	20000306
EP 1181004	A1	20020227	EP 2001-913934	20010306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI FR 2000-2858	A	20000306		
WO 2001-FR663	W	20010306		

OS MARPAT 135:247001

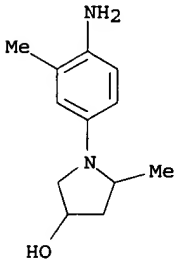
AB The invention concerns a ready-to-use oxidn. dyeing compn. for keratinous fibers, and in particular human keratinous fibers such as hair comprising, in a suitable dyeing medium, at least an oxidn. base selected among certain substituted paraphenylenediamine derivs. and their addn. salts with an acid, at least a second selected oxidn. base, and the dyeing method using said compn. A hair dye compn. contained 1-(4'-amino-3'-methylphenyl)-4-hydroxy-2-methyl-**pyrrolidine** dihydrochloride

2x10-3, 2-methyl-5-aminophenol 3x10-3, 4-amino-3-methylphenol 10-3 mole, and water q.s. 100 g. Equal amt. of above compn. is mixed with 20 vol. hydrogen peroxide and applied on the hair for 30 min, the hair is then rinsed, washed with a shampoo, rinsed, and dried to obtain a purple red color.

IT **228268-74-4**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(oxidative hair dye prepn. contg. paraphenylenediamine derivs.)

RN 228268-74-4 CAPLUS

CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2002 ACS

AN 2001:676564 CAPLUS

DN 135:247000

TI Oxidation dyeing composition for keratinous fibers comprising paraphenylenediamine derivatives and coupling agents

IN Lang, Gerard

PA L'Oreal, Fr.

SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2

DT **Patent**

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001066071	A1	20010913	WO 2001-FR660	20010306
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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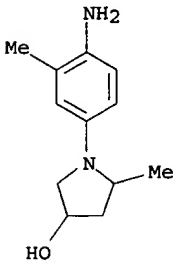
	FR 2805737	A1	20010907	FR 2000-2857	20000306
	EP 1181005	A1	20020227	EP 2001-915449	20010306
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	FR 2000-2857	A	20000306		
	WO 2001-FR660	W	20010306		

OS MARPAT 135:247000

AB The invention concerns a ready-to-use oxidn. dyeing compn. for keratinous fibers, and in particular human keratinous fibers such as hair comprising, in a suitable dyeing medium, at least an oxidn. base selected among certain substituted paraphenylenediamine derivs. and their addn. salts with an acid, at least a selected coupling agent, and the dyeing method using said compn. A hair dye compn. contained 1-(4'-amino-3'-methylphenyl)-4-hydroxy-2-methyl-**pyrrolidine** dihydrochloride 3x10-3, 2,4-diamino-1-(.beta.-hydroxyethyloxy)benzene 3x10-3, excipients and water q.s. 100 g. Equal amt. of above compn. is mixed with 20 vol. hydrogen peroxide and applied on the hair for 30 min, the hair is then rinsed, washed with a shampoo, rinsed, and dried to obtain a blue color.

IT **228268-74-4**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(oxidn. dyeing compn. for keratinous fibers comprising paraphenylenediamine derivs. and coupling agents)

RN 228268-74-4 CAPLUS
CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 2001:676563 CAPLUS
DN 135:246999
TI Oxidation dyeing composition for keratinous fibers containing paraphenylenediamine derivatives and oxidants
IN Lang, Gerard
PA L'Oreal, Fr.
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT **Patent**
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001066070	A1	20010913	WO 2001-FR646	20010305
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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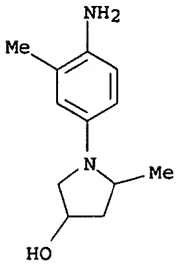
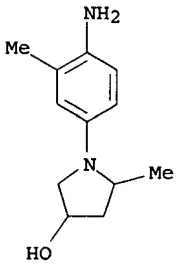
	FR 2805739	A1	20010907	FR 2000-2860	20000306
PRAI	FR 2000-2860	A	20000306		

OS MARPAT 135:246999
AB The invention concerns a ready-to-use oxidn. dyeing compn. for keratinous fibers, and in particular human keratinous fibers such as hair comprising, in a suitable dyeing medium, at least an oxidn. base selected among certain substituted paraphenylenediamine derivs. and their addn. salts with an acid, at least an alk. agent and hydrogen peroxide, and the dyeing method using said compn. A hair dye compn. contained 1-(4'-amino-3'-methylphenyl)-4-hydroxy-2-methyl-**pyrrolidine** dihydrochloride 0.837, 2,4-diamino-1-(.beta.-hydroxyethyloxy)-benzene 0.723, Oramix DG110 3.24, ethanol 18, polyethylene glycol-400 2.7, Dissoluine D40 0.43, sodium metabisulfite 0.205, 20.5% ammonia 10, and water q.s. 100 g. Equal amt. of above compn. is mixed with 20 vol. hydrogen peroxide and applied on the hair for 30 min, the hair is then rinsed, washed with a shampoo, rinsed, and dried to obtain a blue color.
IT **228268-74-4 359841-69-3**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(oxidn. dyeing compn. for keratinous fibers contg. paraphenylenediamine derivs. and oxidants)
RN 228268-74-4 CAPLUS
CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 359841-69-3 CAPLUS
CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 2001:676562 CAPLUS
DN 135:246998
TI Oxidation dyeing composition for keratinous fibers comprising substituted paraphenylenediamine derivatives and polymers
IN Lang, Gerard
PA L'Oreal, Fr.
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT **Patent**
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001066069	A1	20010913	WO 2001-FR645	20010305
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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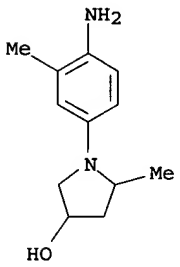
	FR 2805740	A1	20010907	FR 2000-2861	20000306
PRAI	FR 2000-2861	A	20000306		

OS MARPAT 135:246998
AB The invention concerns an oxidn. dyeing compn. for keratinous fibers, and in particular human keratinous fibers such as hair comprising, in a suitable dyeing medium, at least an oxidn. base selected among certain substituted paraphenylenediamine derivs. and their addn. salts with an acid, at least a polymer selected among amphoteric polymers, cationic polymers with specific repeat structural units, or amphiphilic polymers comprising at least a fatty chain, and the dyeing method using said compn. A hair dye compn. contained 1-(4'-amino-3'-methylphenyl)-4-hydroxy-2-methyl-**pyrrolidine** dihydrochloride 0.837, 2,4-diamino-1-(.beta.-hydroxyethyloxy)-benzene 0.723, Miranol A15 1, and water and excipients q.s. 100 g. Equal amt. of the compn. is mixed with 20 vol. hydrogen

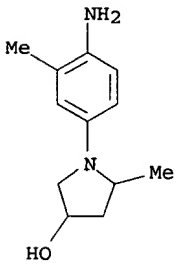
peroxide and applied on the hair for 30 min, the hair is then rinsed, washed with a shampoo, and rinsed with water and dried to obtain a blue color.

IT **228268-74-4 359841-69-3**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(oxidative hair dyes comprising substituted paraphenylenediamine derivs. and polymers)

RN 228268-74-4 CAPLUS
CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 359841-69-3 CAPLUS
CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



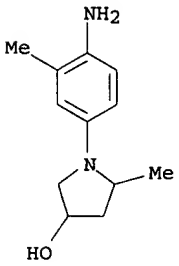
2 HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

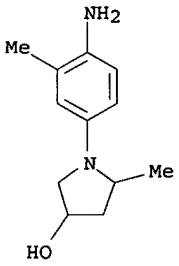
L6 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 2001:676561 CAPLUS
DN 135:246997
TI Oxidation dyeing composition for keratinous fibers with a particular paraphenylenediamine derivative and a particular direct dyeing agent
IN Lang, Gerard
PA L'Oreal, Fr.
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT **Patent**
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001066068	A1	20010913	WO 2001-FR644	20010305
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2805741	A1	20010907	FR 2000-2862	20000306

PRAI FR 2000-2862 A 20000306
OS MARPAT 135:246997
AB The invention concerns an oxidn. dyeing compn. for keratinous fibers, and in particular human keratinous fibers such as hair comprising, in a medium suitable for dyeing, at least an oxidn. base selected among certain substituted paraphenylenediamine derivs. and their addn. salts with an acid, and at least a synthetic direct dyeing agent selected among the azo, quinoid, triarylmethane, indoamino, azine dyes and/ or a natural dye. The invention also concerns a dyeing method using said compn. A hair dye compn. contained 1-(4'-amino-3'-methylphenyl)-4-hydroxy-2-methyl-**pyrrolidine** dihydrochloride 0.837, 2,4-diamino-1-(.beta.-hydroxyethyloxy)-benzene 0.723, Miranol A15 1, and water and excipients q.s. 100 g. Equal amt. of above compn. is mixed with 20 vol. hydrogen peroxide and applied on the hair for 30 min, the hair is then rinsed, washed with a shampoo, rinsed and dried to obtain a blue color.
IT **228268-74-4 359841-69-3**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(oxidative hair dyes contg. paraphenylenediamine derivs. direct dyes)
RN 228268-74-4 CAPLUS
CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 359841-69-3 CAPLUS
CN 3-Pyrrolidinol, 1-(4-amino-3-methylphenyl)-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

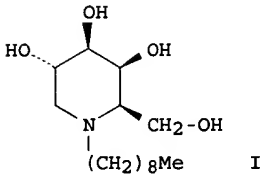


●2 HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 2001:114972 CAPLUS
DN 134:163282
TI Preparation of long chain N-alkyl amino and imino alditols and oxa-derivatives as antiviral agents
IN Zitzmann, Nicole; Butters, Terry D.; Platt, Frances M.; Carrouee, Sandra; Jacob, Gary S.; Picker, Donald H.; Fleet, George W. J.; Dwek, Raymond A.
PA UK
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2001010429	A2	20010215	WO 2000-US21732	20000810
	WO 2001010429	A3	20010816		
	W: AU, BR, CA, CN, IN, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 2001018401	A5	20010305	AU 2001-18401	20000810
	EP 1210082	A2	20020605	EP 2000-952683	20000810
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRAI	US 1999-148101P	P	19990810		
	US 2000-198621P	P	20000420		
	WO 2000-US21732	W	20000810		
OS	MARPAT 134:163282				
GI					



I

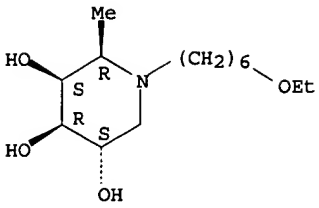
AB Long chain N-alkyl amino and imino compds., oxa-substituted derivs. R5R4R3CNR2R1 were prepd. wherein; R1 is an alkyl or an oxa-substituted deriv. thereof; R2 is hydrogen, R3 is carboxy or alkoxycarbonyl, or R2 and R3, together, are -(CXY)n-, wherein n is 3 or 4, each X, independently, is selected from the group consisting of hydrogen, hydroxy, amino, carboxy, alkylcarboxy, alkyl, alkoxy, hydroxyalkyl, acyloxy, and aroyloxy, and each Y, independently, is selected from the group consisting of hydrogen, hydroxy, amino, carboxy, alkylcarboxy, alkyl, alkoxy, hydroxyalkyl, acyloxy, aroyloxy, and deleted; R4 is hydrogen or deleted; and R5 is selected from the group consisting of hydrogen, hydroxy, amino, substituted amino, carboxy, alkoxycarbonyl, aminocarbonyl, alkyl, aryl, aralkyl, alkoxy, hydroxyalkyl, acyloxy, and aroyloxy, or R3 and R5, together, form a Ph and R4 is deleted; wherein when R2 and R3, together, are -(CXY)n- and R4 is deleted, all Y are deleted, or a physiol. acceptable salt or solvate of said compd. thereof, and pharmaceutical compns. including such compds. are described. The long chain N-alkyl compds. and oxa-substituted derivs. thereof can be used in the treatment of viral infections, in particular hepatitis B virus or hepatitis C virus, in a cell or an individual. For example, the long chain N-alkyl compds. or oxa-substituted derivs. thereof can be derived from piperidines, **pyrrolidines**, phenylamines, pyridines, pyrroles, or amino acids. Thus, imino alditol I was prepd. and tested for its antiviral activity against hepatitis B virus or hepatitis C virus, in a cell or an individual (EC50 = 2-3 :mu.M).

IT **324759-99-1P 324760-01-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of long chain N-alkyl amino and imino alditols and oxa-derivs. as antiviral agents)

RN 324759-99-1 CAPLUS

CN 3,4,5-Piperidinetriol, 1-(6-ethoxyhexyl)-2-methyl-, hydrochloride, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)

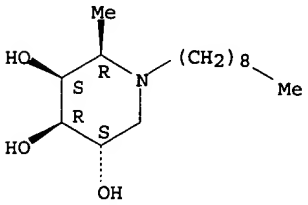
Absolute stereochemistry.



● HCl

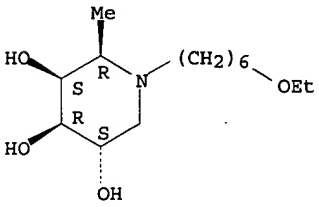
RN 324760-01-2 CAPLUS
CN 3,4,5-Piperidinetriol, 2-methyl-1-nonyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **324759-98-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of long chain N-alkyl amino and imino alditols and oxa-derivs. as antiviral agents)
RN 324759-98-0 CAPLUS
CN 3,4,5-Piperidinetriol, 1-(6-ethoxyhexyl)-2-methyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)

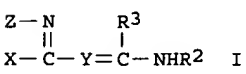
Absolute stereochemistry.



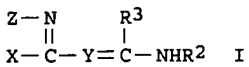
L6 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 2000:508200 CAPLUS
DN 133:105054
TI Prepartion of benzamidines as muscarinic receptor agonists
IN Villalobos, Anabella; Yohannes, Daniel; Nowakowski, Jolanta; Liston, Dane R.
PA USA
SO U.S., 20 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6093733	A	20000725	US 1997-848359	19970430

PRAI US 1996-16474P P 19960430
OS MARPAT 133:105054
GI



I



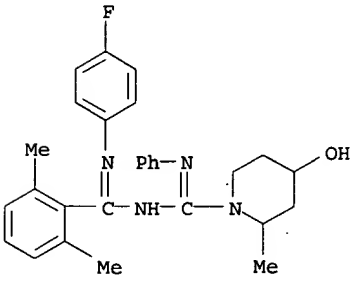
I

AB The title compds. I [X = NR4R5 (a proviso is given), C1-10 alkyl or C3-10 cycloalkyl; Y = CH or N; Z = NR7R8 (a proviso is given), C3-10 cycloalkyl, C1-10 alkyl, pyridyl, or phenyl; R2, R3 = (un)substituted phenyl], useful for the treatment or prevention of diseases the treatment or prevention of which is mediated by muscarinic receptor agonism (no data given), are prepd.

IT **283594-04-7P 283594-14-9P 283594-15-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamidines as muscarinic receptor agonists)

RN 283594-04-7 CAPLUS

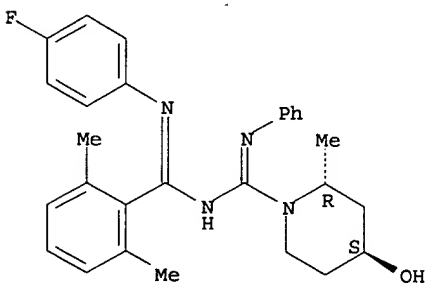
CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl)[(4-fluorophenyl)amino]methylene]-4-hydroxy-2-methyl-N'-phenyl- (9CI) (CA INDEX NAME)



RN 283594-14-9 CAPLUS

CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl)[(4-fluorophenyl)amino]methylene]-4-hydroxy-2-methyl-N'-phenyl-, (2R,4S)-rel- (9CI) (CA INDEX NAME)

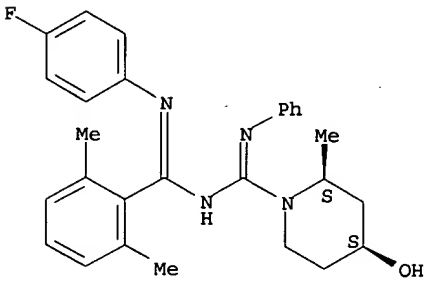
Relative stereochemistry.



RN 283594-15-0 CAPLUS

CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl)[(4-fluorophenyl)amino]methylene]-4-hydroxy-2-methyl-N'-phenyl-, (2R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

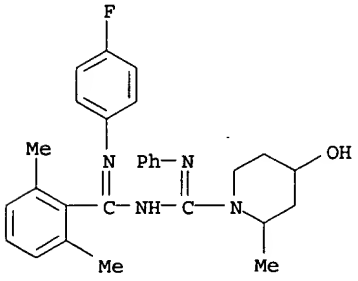


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1997:732136 CAPLUS
DN 128:13209
TI Preparation of N-phenyl-N'-(iminomethyl)benzamidines and analogs as muscarinic agonists
IN Liston, Dane R.; Nowakowski, Jolanta; Villalobos, Anabella; Yohannes, Daniel
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 805153	A1	19971105	EP 1997-302558	19970415
EP 805153	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 208767	E	20011115	AT 1997-302558	19970415
ES 2164990	T3	20020301	ES 1997-302558	19970415
CA 2203850	AA	19971030	CA 1997-2203850	19970428
JP 10072426	A2	19980317	JP 1997-111186	19970428
JP 2834112	B2	19981209		
PRAI US 1996-16494P	P	19960430		

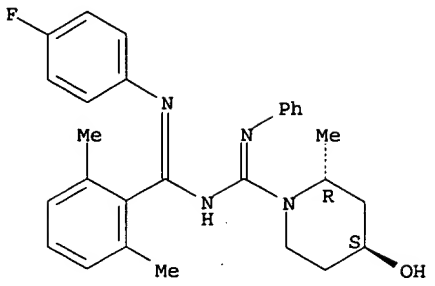
OS MARPAT 128:13209
AB Title compds., e.g., RN:CR1N:CHR3NHR2 [I; R = (cyclo)alkyl, NR7R8, pyridyl, Ph, etc.; R1 = (cyclo)alkyl, NR4R5, etc.; R2,R3 = (un)substituted Ph; R4,R5,R7,R8 = alkyl; NR4R5,NR7R8 = heterocyclyl] were prepd. Thus, PhN:CCl2 was aminated by **pyrrolidine** and the ammoniated product condensed with PhC(:NPh)Cl to give I (R = R2 = R3 = Ph, R1 = **pyrrolidino**). Data for biol. activity of I were given.
IT **199120-78-0P 199120-91-7P 199120-93-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-phenyl-N'-(iminomethyl)benzamidines and analogs as muscarinic agonists)
RN 199120-78-0 CAPLUS
CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl)[(4-fluorophenyl)amino]methylene]-4-hydroxy-2-methyl-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 199120-91-7 CAPLUS
CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl)[(4-fluorophenyl)amino]methylene]-4-hydroxy-2-methyl-N'-phenyl-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

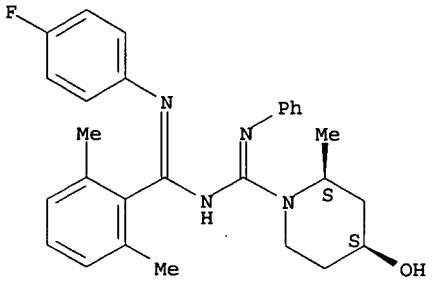
Relative stereochemistry.



● HCl

RN 199120-93-9 CAPLUS
CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl)[(4-fluorophenyl)amino]methylene]-4-hydroxy-2-methyl-N'-phenyl-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

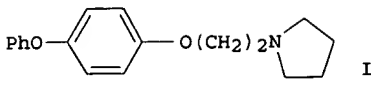


● HCl

L6 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1996:466897 CAPLUS
DN 125:142545
TI Preparation of heterocyclic LTA4 hydrolase inhibitors
IN Chandrakumar, Nizal Samuel; Chen, Barbara Baosheng; Clare, Michael; Desai, Bipinchandra Nanubhai; Djuric, Steven Wakefield; Docter, Stephan Hermann; Gasiecki, Alan Frank; Haack, Richard Arthur; Liang, Chi-Dean; et al.
PA G.D. Searle and Co., USA
SO PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9611192	A1	19960418	WO 1995-US12365	19951010
	W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5585492	A	19961217	US 1994-321183	19941011
	CA 2202371	AA	19960418	CA 1995-2202371	19951010
	AU 9536865	A1	19960502	AU 1995-36865	19951010
	EP 804427	A1	19971105	EP 1995-934554	19951010
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 10512848	T2	19981208	JP 1995-512608	19951010
PRAI	US 1994-321183		19941011		
	WO 1995-US12365		19951010		

OS MARPAT 125:142545
GI



I

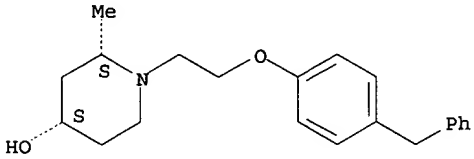
AB The title compds. Ar1QAr2YRZ [Ar1, Ar2 = (un)substituted aryl; Z = (un)substituted nitrogen-contg. moiety which may be an acyclic, cyclic or bicyclic amine or (an) (un)substituted monocyclic or bicyclic nitrogen-contg. heteroarom. moiety; Q, Y = linking group; R = alkylene], useful in the treatment of inflammatory diseases which are mediated by LTB4 prodn. [e.g., psoriasis (no data), ulcerative colitis (no data), irritable bowel syndrome (no data), and asthma (no data)], are prepd. Thus, 4-phenoxyphenol was condensed with 1-(2-chloroethyl) **pyrrolidine** hydrochloride, producing **pyrrolidine** I, which demonstrated a IC50 of 30 nM in a recombinant human LTA4 hydrolase assay.

IT **179022-36-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic LTA4 hydrolase inhibitors)

RN 179022-36-7 CAPLUS

CN 4-Piperidinol, 2-methyl-1-[2-[4-(phenylmethyl)phenoxy]ethyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L6 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2002 ACS

AN 1996:452004 CAPLUS

DN 125:142725

TI LTA4-Hydrolase inhibitors, pharmaceutical compositions, and methods of use

IN Chandrakumar, Nizal Samuel; Chen, Barbara Baosheng; Clare, Michael; Desai, Bipinchandra Nanubhai; Djuric, Steven Wakefield; Docter, Stephan Hermann; Gasiecki, Alan Frank; Haack, Richard Arthur; Liang, Chi-Dean; et al.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 362 pp.
CODEN: PIXXD2

DT **Patent**

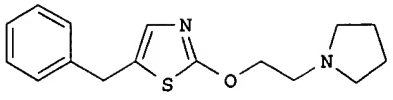
LA English

FAN.CNT 1

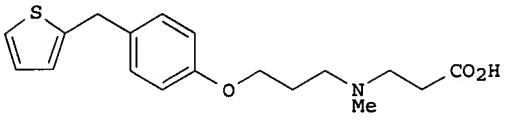
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9610999	A2	19960418	WO 1995-US12367	19951010
	WO 9610999	A3	19960919		
	W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5723492	A	19980303	US 1995-469606	19950606
	CA 2202368	AA	19960418	CA 1995-2202368	19951010
	AU 9536866	A1	19960502	AU 1995-36866	19951010
	EP 786992	A2	19970806	EP 1995-934555	19951010
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10512542	T2	19981202	JP 1995-512609	19951010
PRAI	US 1994-321184		19941011		
	WO 1995-US12367		19951010		

OS MARPAT 125:142725

GI



II



@ HCl III

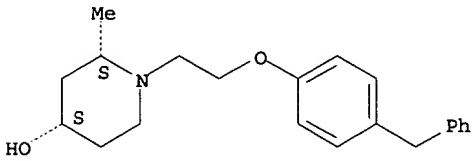
AB The invention provides compds. Ar1-Q-Ar2-Y-R-Z and pharmaceutically acceptable salts thereof [wherein Ar1 and Ar2 = (un)substituted (hetero)aryl moieties; Z = (un)substituted N-contg. moiety which may be an acyclic, cyclic, or bicyclic amine, or an (un)substituted monocyclic or bicyclic, N-contg., heteroarom. moiety; Q = O, CH2, OCH2, CH2O, NH, NHCH2, CH2NH, CF2, CH:CH, CH2CH2, or bond; R = alkylene moiety; Y = O, S, NH, S(O), S(O)2; Z is bound to R through a N atom]. I and their pharmaceutical compns. are useful in the treatment of inflammatory diseases which are mediated by LTB4 prodn., such as psoriasis, ulcerative colitis, inflammatory bowel disease, and asthma. Over 500 examples cover syntheses of various I and precursors, plus results of 3 bioassays. For instance, etherification of 1-(2-hydroxyethyl)**pyrrolidine** with 2-bromothiazole and NaH gave 74% 2-(2-**pyrrolidinoethoxy**)thiazole, which was lithiated with BuLi and treated with PhCHO to give the 5-(.alpha.-hydroxybenzyl) deriv. in 66% yield. This was reduced with Et3SiH and CF3CO2H to give 74% title compd. II. In a recombinant human LTA4 hydrolase assay, title compd. III had IC50 of 2 nM.

IT **179022-36-7P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (hetero)aryloxyalkylamines and analogs as LTA4 hydrolase inhibitors)

RN 179022-36-7 CAPLUS

CN 4-Piperidinol, 2-methyl-1-[2-[4-(phenylmethyl)phenoxy]ethyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L6 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2002 ACS

AN 1995:881295 CAPLUS

DN 123:285754

TI Preparation of N-(3-**pyrrolidinyl**)benzamide derivative with selective affinity to dopamine D3 and/or D4 receptor

IN Ohmori, Junya; Maeno, Kyoichi; Hidaka, Kazuyuki; Nakato, Kazuhiro; Sakamoto, Shuichi; Tsukamoto, Shin-ichi

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 154 pp.
CODEN: PIXXD2

DT **Patent**

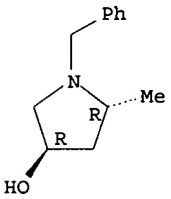
LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9508533	A1	19950330	WO 1994-JP1547	19940920
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476656	A1	19950410	AU 1994-76656	19940920

PRAI JP 1993-234425 19930921
WO 1994-JP1547 19940920
OS MARPAT 123:285754
GI For diagram(s), see printed CA Issue.
AB An N-(3-**pyrrolidinyl**)benzamide deriv. represented by general formula [I; R1 = halo; R2 =lower alkoxy; R3 = H or lower alkyl; A = a single bond or lower alkylene; ring B = each (un)substituted and (un)satd. 3- to 8-membered monocyclic hydrocarbon group or 4- to 16-membered fused bicyclic hydrocarbon groups, 3- to 8-membered heterocyclic group or 6- to 16-membered fuse bicyclic heterocyclic group each contg. one or two of the heteroatoms comprising N, S, and O, 4- to 16-membered bi- or tricyclic bridged hydrocarbon group, 6- to 16-membered bi- or tricyclic bridged heterocyclic group each contg. one or two of the heteroatoms comprising N, S, and O; R4 = Ph, (non)halogenated 3- to 8-membered monocyclic satd. hydrocarbon group, lower alkyl, halogenated lower alkyl, lower alkenyl; provided that when the A-ring B group represents benzyl, R4 represents a group other than Me] or a pharmaceutically acceptable salt thereof, which have a selective and potent affinity for dopamine D3 receptors and/or dopamine D4 receptors, is prepd.. A dopamine D3 receptor and/or dopamine D4 receptor antagonist contains said compd. I or pharmaceutically acceptable salt thereof. This compd. is useful as a psychotropic agent having little or no side effects such as extrapyramidal syndrome. Thus, N-**pyrrolidinylbenzamide** deriv. [(S)-II; R = H] was dissolved in CH2Cl2 followed by successively adding cyclohexylcarbonyl chloride and pyridine and the resulting mixt. was stirred at room temp. for 2 h to give the title compd. II (R = cyclohexylcarbonyl). II (R = cyclopropylcarbonyl) showed ED50 of 0.42 mg/kg s.c. for antagonizing apomorphine-induced climbing behavior of mice vs. 6.8 and 0.48 mg/kg for clozapine and II (R = Ac) fumarate, resp. In a binding affinity assay using a membrane sample of dopamine D2, D3, and D4 receptor genes-cloned cells, II (R = cyclopropylcarbonyl) showed Ki values of 200, 22, and 1.4 nM for dopamine D2, D3, and D4 receptor, resp., whereas II (R = Ac) fumarate showed 40, 11, and 1.1 nM, resp.
IT **154343-06-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate for prepn. of N-(**pyrrolidinyl**)benzamide deriv. as selective antagonists of dopamine D3 and/or D4 receptor)
RN 154343-06-3 CAPLUS
CN 3-Pyrrolidinol, 5-methyl-1-(phenylmethyl)-, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1994:521601 CAPLUS
DN 121:121601
TI Process for forming color image
IN Ohki, Nobutaka; Nakamura, Koichi; Taniguchi, Masato
PA Fuji Photo Film Co., Ltd., Japan
SO U.S., 65 pp. Cont.-in-part of U.S. Ser. No. 691,437, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5278034	A	19940111	US 1992-989556	19921211
JP 04011255	A2	19920116	JP 1990-114603	19900427
JP 2726950	B2	19980311		
JP 05188550	A2	19930730	JP 1992-4088	19920113

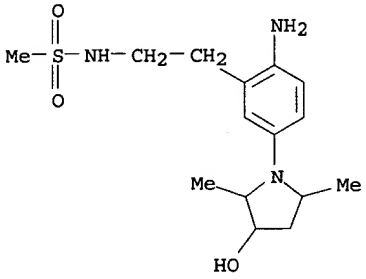
PRAI JP 1990-114603 19900427
US 1991-691437 19910425
JP 1992-4088 19920113
OS MARPAT 121:121601
AB A rapid process for forming a color image comprises the step of developing an imagewise exposed silver halide color photog. material with a color

developing compn. contg. a N-(4-aminophenyl)**pyrrolidine** deriv. to produce color images of excellent hue.

IT **156938-22-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and use of, in color photog. developing compns.)

RN 156938-22-6 CAPLUS

CN Methanesulfonamide, N-[2-[2-amino-5-(3-hydroxy-2,5-dimethyl-1-pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2002 ACS

AN 1994:508364 CAPLUS

DN 121:108364

TI Preparation of cephalosporin derivatives as bactericides

IN Tanaka, Kyoshi; Sutani, Mineichi; Komatsu, Miwako; Tsuchida, Keiichi; Saito, Akito; Hayashi, Kazuya; Kanna, Hiroshi; Goto, Aya; Minami, Shinzaburo; Watanabe, Yasuo

PA Toyama Chemical Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 53 pp.
CODEN: JKXXAF

DT **Patent**

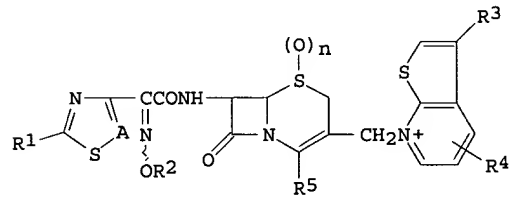
LA Japanese

FAN.CNT 1

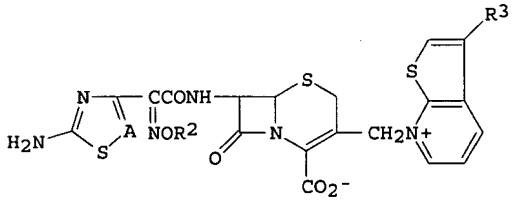
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 06041149	A2	19940215	JP 1992-358584	19921228
PRAI JP 1992-159993		19920528		

OS MARPAT 121:108364

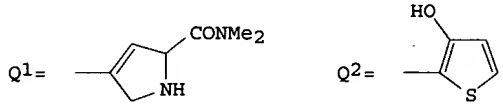
GI



I



II

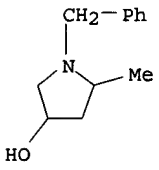


AB The title compds. I [A = CH, CX, etc.; X = halo; R1 = (protected) amino; R2 = H, (substituted) alkyl, aryl, etc.; R3 = (substituted) cycloalkyl,

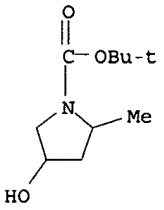
thienyl, etc.; R4 = H, halo, (substituted) alkyl, etc.; R5 = (protected) carboxyl, etc.; the wavy line between N and O indicates either syn or anti isomer; n = 0 or 1] are prepd. Title compd. II [A = CH; R2 = Me; R3 =Q1] in vitro exhibited MIC values of 0.2, 0.78, and 3.13 .mu.g/mL against Staphylococcus aureus FDA209P, .beta.-lactamase-producing Staphylococcus aureus F-137, and Pseudomonas aeruginosa IFO3445, resp. II [A = CH; R2 = Me; R3 = Q2] in vitro exhibited MIC values of .ltoreq. 0.1, 0.39, and 6.25 .mu.g/mL against Staphylococcus aureus FDA209P, .beta.-lactamase-producing Staphylococcus aureus F-137, and Pseudomonas aeruginosa IFO3445, resp. Title compds. I also have strong activity against methicillin-resistant Staphylococcus aureus.

IT **156865-71-3P 156865-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of bactericide)

RN 156865-71-3 CAPLUS
CN 3-Pyrrolidinol, 5-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



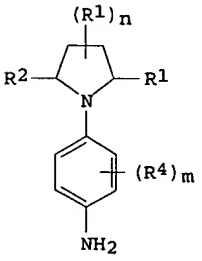
RN 156865-72-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



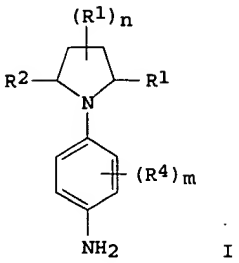
L6 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1994:334768 CAPLUS
DN 120:334768
TI Color developing agent , processing solution composition, and color image formation
IN Taniguchi, Masato; Ooki, Nobutaka
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 47 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05188550	A2	19930730	JP 1992-4088	19920113
	US 5278034	A	19940111	US 1992-989556	19921211
PRAI	JP 1990-114603		19900427		
	US 1991-691437		19910425		
	JP 1992-4088		19920113		

OS MARPAT 120:334768
GI



I



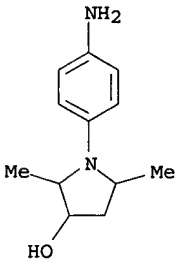
I

AB The title principal color developing agent is a **pyrrolidino** -substituted compd., (I) [R1 = substituent(s); n = 0-6; when n .gtoreq.2, R1 may be the same or different from each other; R2, R3 = alkyl; R4 = substituent; m = 0-4]. The processing soln. contains .gtoreq.1 I. The title processing is effected with the above processing soln. The above developing agent is useful in rapid processing, and yields thermally durable cyan images.

IT **155293-37-1**
RL: USES (Uses)
(color photog. developing agent)

RN 155293-37-1 CAPLUS

CN 3-Pyrrolidinol, 1-(4-aminophenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2002 ACS

AN 1994:298462 CAPLUS

DN 120:298462

TI Preparation of chiral 4-amino-2-methylpyrrolidines as intermediates for quinolonecarboxylate antibacterials

IN Chu, Daniel T.; Li, Qun

PA Abbott Laboratories, USA

SO U.S., 13 pp.
CODEN: USXXAM

DT **Patent**

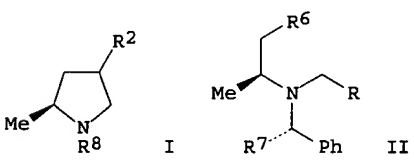
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5252747	A	19931012	US 1992-943946	19920911
	WO 9406766	A1	19940331	WO 1993-US7894	19930819
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 659178	A1	19950628	EP 1994-910265	19930819
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08501306	T2	19960213	JP 1993-508087	19930819
PRAI	US 1992-943946		19920911		
	WO 1993-US7894		19930819		

OS MARPAT 120:298462

GI



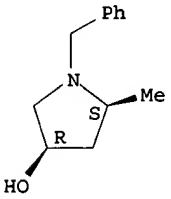
I II

AB Title compds. (e.g. I; R2 = OH and R8 = CH2Ph or CHMePh; R2 = NHAc or NHCO2CMe3 and R8 = CH2Ph or CHMePh) and related compds. (e.g. II; R = Ph, R6 = CO2R5, and R7 = Me and R = cyano, R6 = OH, halo, or cyano, and R7 = H; R5 = H, alkyl) were prepd. Thus, (S)-amino-1-propanol was reductively condensed with PhCHO and the product converted in 2 steps to II (R = R6 = cyano, R7 = H) which was cyclized to give (S)-N-benzyl-5-methyl-3-**pyrrolidinone** which was converted in 3 steps to (25,45)-I (R2 = NHAc, R8 = CH2Ph).

IT **152673-19-3P 152673-21-7P 152673-26-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of quinolonecrboxylate antibacterial)

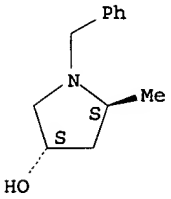
RN 152673-19-3 CAPLUS
CN 3-Pyrrolidinol, 5-methyl-1-(phenylmethyl)-, (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



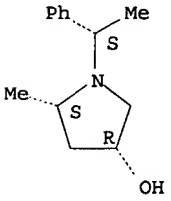
RN 152673-21-7 CAPLUS
CN 3-Pyrrolidinol, 5-methyl-1-(phenylmethyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 152673-26-2 CAPLUS
CN 3-Pyrrolidinol, 5-methyl-1-(1-phenylethyl)-, [3R-[1(S*),3.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

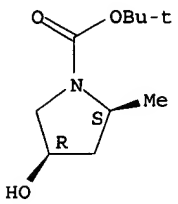
Absolute stereochemistry.



IT **114676-61-8P 152673-13-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for quinolonecarboxylate antibacterial)

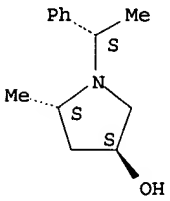
RN 114676-61-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-methyl-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 152673-13-7 CAPLUS
CN 3-Pyrrolidinol, 5-methyl-1-(1-phenylethyl)-, [3S-[1(R*),3.alpha.,5.beta.]]-
(9CI) (CA INDEX NAME)

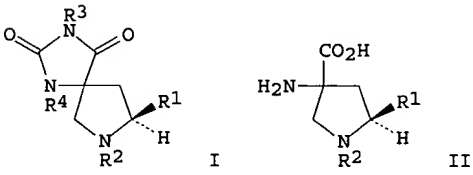
Absolute stereochemistry.



L6 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1994:271177 CAPLUS
DN 120:271177
TI Preparation of optically active amino acid derivatives having fixed conformation and anticonvulsants containing them
IN Sawanishi, Hiroyuki; Myamoto, Kenichi; Tanaka, Kenichi; Suzuki, Koichi
PA Tsumura & Co, Japan
SO Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05213957	A2	19930824	JP 1992-56058	19920207

OS MARPAT 120:271177
GI

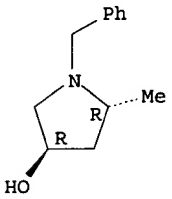


AB The title compds. including spiropyrrolidineimidazoline derivs. (I; R1 = C1-6 alkyl, alkoxyalkyl, alkoxycarbonyl, hydroxyalkyl, CO2H; R2 = H, C1-6 alkyl, aryl, phenylalkyl, carbamoylalkyl, diphenylalkyl; R3, R4 = H, C1-6 alkyl, ester group) and aminopyrrolidinecarboxylic acid derivs. (II; R1, R2 = same as above), useful as anticonvulsants with low toxicity, are prepd. Thus, ethylation of Me L-hydroxyprolinate with EtI in CH2Cl2 contg. Et3N at 60.degree. gave (2S,4R)-1-ethyl-4-hydroxy-2-methoxycarbonylpyrrolidine. Swern oxidn. of the latter compd. with (COCl)2 and DMSO in CH2Cl2 contg. Et3N at -60.degree. gave (2S)-1-ethyl-4-oxo-2-methoxycarbonylpyrrolidine which underwent Bucherer-Bergs reaction with KCN and ammonium carbonate in 60% aq. MeOH at 55-60.degree. to give (3R,5S)-1-ethyl-5-methoxycarbonylspiro[**pyrrolidine**-3,5'-imidazoline]-2',4'-dione (III) and (3S,5S)-stereoisomer. A total of 65 I and II were prepd. and 17 I in vitro inhibited 20-100% the carbachol-induced contraction of guinea pig's ileums. Seven formulations, e.g. 200 mg tablets contg. 20 mg III, were described.

IT **154343-06-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, intermediate for anticonvulsant spiropyrrolidineimidazoline deriv.)
RN 154343-06-3 CAPLUS
CN 3-Pyrrolidinol, 5-methyl-1-(phenylmethyl)-, (3R-trans)- (9CI) (CA INDEX NAME)

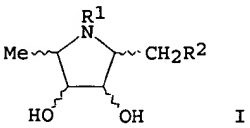
Absolute stereochemistry.



L6 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1993:192188 CAPLUS
DN 118:192188
TI Preparation of 2-methyl-5-hydroxymethyl- and 2,5-dimethyl-3,4-dihydroxypyrrolidines as glycosidase and fucosidase inhibitors
IN Wong, Chi Huey; Liu, Kun Chin
PA Scripps Research Institute, USA
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9221655	A2	19921210	WO 1992-US4408	19920526
	WO 9221655	A3	19930107		
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5229523	A	19930720	US 1992-835238	19920213
	AU 9221458	A1	19930108	AU 1992-21458	19920526
	US 5352591	A	19941004	US 1993-93782	19930719
PRAI	US 1991-707594		19910530		
	US 1992-835238		19920213		
	WO 1992-US4408		19920526		

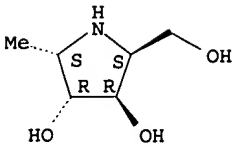
OS MARPAT 118:192188
GI



I

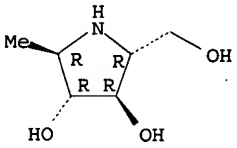
AB Title compds. I (R1 = H, C1-12 alkyl, C7-10 aralkyl, C1-12 acyl, or NR1 is a C1-12 alkylamino or C7-10 aralkylamino N-oxide; R2 = H, HO) are prepd. as glycosidase and fucosidase inhibitors. 5-Azido-5-deoxy-L-xylohexulose-1-phosphate (prepn. given) in H2O was hydrogenated with Pd/C under H for 1 day to give (2R,3R,4R,5S)-I (R1 = H, R2 = OH) (II). A mixt. of II and its (2S)-diastereomer inhibited .alpha.-L-fucosidase with Ki = 0.004 mM. The inhibition of yeast .alpha.-glucosidase by (2R,5S)-bis(hydroxymethyl)-(3R,4R)-dihydroxypyrrolidine was (Ki) 2.8 .times. 10-6M.
IT **147060-26-2**
RL: RCT (Reactant)
(fucosidase inhibition by isomeric methyl(hydroxymethyl) **pyrrolidinediol** and)
RN 147060-26-2 CAPLUS
CN 3,4-Pyrrolidinediol, 2-(hydroxymethyl)-5-methyl-, [2S-(2.alpha.,3.alpha.,4.beta.,5.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **147060-64-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as fusosidase inhibitor)
RN 147060-64-8 CAPLUS
CN 3,4-Pyrrolidinediol, 2-(hydroxymethyl)-5-methyl-, (2R,3R,4R,5R)- (9CI)
(CA INDEX NAME)

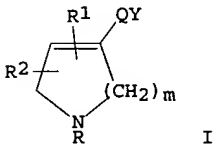
Absolute stereochemistry.



L6 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1990:158066 CAPLUS
DN 112:158066
TI **Pyrrolines** and tetrahydropyridines as intermediates for bactericides and antibiotics
IN Nishitani, Yasuhiro; Irie, Tadashi; Nishino, Yutaka
PA Shionogi and Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01233270	A2	19890919	JP 1988-61219	19880314

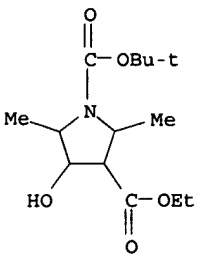
OS MARPAT 112:158066
GI



I

AB The title compds. (I; R = H, protecting group; R1 = H, alkyl, halo; R2 = H, alkyl; Q = alkylene; Y = N3, OR3, NR4R5; R3, R4, R5 = H, alkyl, acyl, alkoxycarbonyl; m = 1,2), useful as side-chain groups for quinolonecarboxylate bactericides or cephalosporines, are prepd. Treatment of I (R = CO2CMe3; R1 = R2 = H; QY = CH2OSO2Me; m = 1) with 70% aq. EtNH2 gave I (QY = CH2NHEt). The prepd. tetrahydropyridines are not matched with the Markush definition.

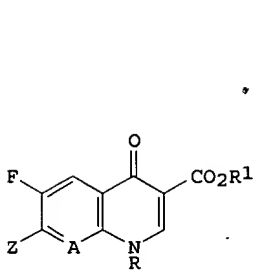
IT **126092-72-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and mesylation of)
RN 126092-72-6 CAPLUS
CN 1,3-Pyrrolidinedicarboxylic acid, 4-hydroxy-2,5-dimethyl-,
1-(1,1-dimethylethyl) 3-ethyl ester (9CI) (CA INDEX NAME)



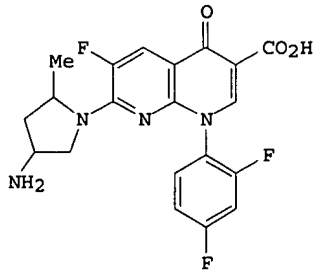
L6 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1989:439348 CAPLUS
DN 111:39348
TI Preparation of 7-(2-methyl-4-aminopyrrolidinyl)oxonaphthyridines and -quinolones as antibacterial agents
IN Rosen, Terry J.; Chu, Daniel T.
PA Abbott Laboratories, USA
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 302371	A2	19890208	EP 1988-112103	19880727
	EP 302371	A3	19891018		
	EP 302371	B1	19941214		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 1337600	A1	19951121	CA 1985-495685	19851119
	US 4962112	A	19901009	US 1988-160950	19880226
	IL 87221	A1	19930221	IL 1988-87221	19880726
	ES 2068190	T3	19950416	ES 1988-112103	19880727
	JP 01050880	A2	19890227	JP 1988-193315	19880802
	JP 2645091	B2	19970825		
	KR 9707918	B1	19970517	KR 1988-9842	19880802
	AU 8820371	A1	19890209	AU 1988-20371	19880803
	AU 615934	B2	19911017		
	DK 8804353	A	19890205	DK 1988-4353	19880804
	DK 169786	B1	19950227		
PRAI	US 1987-81416	A	19870804		
	US 1988-160950	A	19880226		
	US 1983-514716	B2	19830718		
	US 1984-574227	B2	19840126		
	US 1984-597854	B1	19840409		
	US 1985-784421	A	19851004		

OS MARPAT 111:39348
GI



I



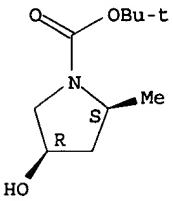
II

AB The title compds. (I; A = CH, N; R = 2,4-F2C6H3, 4-FC6H4; R1 = H, protective group; Z = 4-amino-2-methylpyrrolidin-1-yl) were prepd. as bactericides. I (A = N, R = 2,4-F2C6H3, R1 = Et, Z = Cl) was heated 14 h at 65.degree. with (2S,4S)-4-acetamido-2-methylpyrrolidine (prepn. in 9 steps from 4-hydroxyproline given) in pyridine contg. Et3N and the product deprotected to give title compd. II which had min. inhibitory concn. of 0.004-2 .mu.g/mL against 33 organisms.

IT **114676-61-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antibacterial agents)
RN 114676-61-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-hydroxy-2-methyl-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L6 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2002 ACS
AN 1988:631085 CAPLUS
DN 109:231085
TI Preparation of fused aromatic oxazepinones, thiazepinones, diazepinones and the corresponding thiones as antihistaminics
IN Cale, Albert D., Jr.
PA Robins, A. H., Co., Inc., USA
SO U.S., 89 pp. Cont.-in-part of U.S. 4,592,866.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4705853	A	19871110	US 1986-835805	19860303
	NO 8303297	A	19840402	NO 1983-3297	19830914
	FI 8303319	A	19840331	FI 1983-3319	19830916
	FI 78102	B	19890228		
	FI 78102	C	19890612		
	IL 69760	A1	19880531	IL 1983-69760	19830918
	IL 80414	A1	19880531	IL 1983-80414	19830918
	ZA 8306994	A	19840530	ZA 1983-6994	19830920
	AU 8319369	A1	19840405	AU 1983-19369	19830922
	AU 549349	B2	19860123		
	IN 163433	A	19880924	IN 1983-CA118	19830927
	DK 8304506	A	19840331	DK 1983-4506	19830929
	HU 33793	O	19841228	HU 1983-3395	19830929
	HU 195649	B	19880628		
	ES 526086	A1	19860601	ES 1983-526086	19830929
	PL 143324	B1	19880229	PL 1983-254630	19830929
	PL 144480	B1	19880531	PL 1983-243953	19830929
	PL 144549	B1	19880630	PL 1983-254628	19830929
	PL 144550	B1	19880630	PL 1983-254629	19830929
	PL 145530	B1	19880930	PL 1983-254627	19830929
	HU 47089	A2	19890130	HU 1984-4018	19830929
	HU 199811	B	19900328		
	JP 59093047	A2	19840529	JP 1983-182920	19830930
	CA 1234809	A1	19880405	CA 1983-438362	19830930
	ES 543661	A1	19861201	ES 1985-543661	19850530
	CA 1245647	A1	19881129	CA 1985-483716	19850612
	US 4592866	A	19860603	US 1985-746091	19850618
	AU 8547084	A1	19860424	AU 1985-47084	19850903
	AU 574832	B2	19880714		
	AU 8547085	A1	19870305	AU 1985-47085	19850903
	AU 588827	B2	19890928		
	ZA 8507206	A	19860528	ZA 1985-7206	19850919
	ES 551422	A1	19870601	ES 1986-551422	19860130
	FI 8601411	A	19860401	FI 1986-1411	19860401
	FI 78290	B	19890331		
	FI 78290	C	19890710		
	IN 163949	A	19881210	IN 1986-MA833	19861024
	US 4810795	A	19890307	US 1987-18661	19870225
	US 4812565	A	19890314	US 1987-18676	19870225
	FI 8802370	A	19880519	FI 1988-2370	19880519
	CA 1253145	A2	19890425	CA 1988-572363	19880718
	NO 9000132	A	19900110	NO 1990-132	19900110
PRAI	US 1982-431500		19820930		
	US 1983-527559		19830829		

	US 1984-652058	19840919
	US 1985-746091	19850618
	US 1982-431998	19820930
	US 1983-527558	19830829
	NO 1983-3297	19830914
	FI 1983-3319	19830916
	IL 1983-69760	19830918
	IN 1985-MA65	19850125
	CA 1985-483716	19850612
	US 1986-835805	19860303

OS CASREACT 109:231085

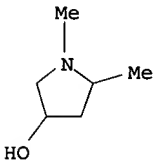
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; ring A = (un)substituted, fused benzene, naphthalene, quinoline, pyrimidine; B = O, S; R = H, alkyl, C3-9 cycloalkyl, (un)substituted phenylalakyl; R1, R2 = H, C1-5 alkyl; Z = R3R4N, pyrazol-1-yl, imidazol-1-yl, 1-imidazolin-2-yl; R3, R4 = R, (un)substituted Ph; R3R4N = azetidino, morpholino, 1,2,3,6-tetrahydro-1-pyridinyl, pyrrolo, 2,5-dihydropyrrol-1-yl, (un)substituted piperidino, piperazinyl; n = 1-3], their optical isomers, and pharmaceutically acceptable salts were prepd. as nonsedative antihistaminics. Na 2-[(1-methyl-3-**pyrrolidinyl**)oxy]-3-pyridinecarboxylate (prepn. given) in CHCl3 was treated with gaseous HCl, followed by addn. of Ph3P and CCl4 and refluxing the mixt. 1.5 h, to give the cleaved and recyclized 2-(2-chloroethyl)pyridooxazepinone II.HCl (B = O, R5 = Cl). The latter was refluxed 18 h with P2S5 in CHCl3 to give II (B = S, R5 = Cl) which was heated at 100.degree. with aq. Me2NH in an autoclave to give II (B = S, R5 = Me2N), converted to its fumarate (1:1) (III). In cats 0.3 mg III/kg i.v. gave 50% inhibition of histamine-induced hypotension. No sedative effects were noted at doses .ltoreq.20 mg/kg, compared to diphenhydramine which exhibited signs of sedation at 0.5 mg/kg.

IT **89584-08-7**
RL: RCT (Reactant)
(reaction of, in prepn. of antihistaminics)

RN 89584-08-7 CAPLUS

CN 3-Pyrrolidinol, 1,5-dimethyl- (7CI, 9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2002 ACS

AN 1987:67360 CAPLUS

DN 106:67360

TI Fused aromatic oxazepinones, thiazepinones, diazepinones and their sulfur analogs

IN Cale, Albert D., Jr.

PA Robins, A. H., Co., Inc., USA

SO U.S., 92 pp. Cont.-in-part of U.S. Ser. No. 652,058 abandoned.
CODEN: USXXAM

DT **Patent**

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4592866	A	19860603	US 1985-746091	19850618
	NO 8303297	A	19840402	NO 1983-3297	19830914
	FI 8303319	A	19840331	FI 1983-3319	19830916
	FI 78102	B	19890228		
	FI 78102	C	19890612		
	IL 69760	A1	19880531	IL 1983-69760	19830918
	IL 80414	A1	19880531	IL 1983-80414	19830918
	ZA 8306994	A	19840530	ZA 1983-6994	19830920
	AU 8319369	A1	19840405	AU 1983-19369	19830922
	AU 549349	B2	19860123		
	IN 163433	A	19880924	IN 1983-CA118	19830927
	DK 8304506	A	19840331	DK 1983-4506	19830929
	HU 33793	O	19841228	HU 1983-3395	19830929
	HU 195649	B	19880628		
	ES 526086	A1	19860601	ES 1983-526086	19830929
	PL 143324	B1	19880229	PL 1983-254630	19830929
	PL 144480	B1	19880531	PL 1983-243953	19830929

	PL 144549	B1	19880630	PL 1983-254628	19830929
	PL 144550	B1	19880630	PL 1983-254629	19830929
	PL 145530	B1	19880930	PL 1983-254627	19830929
	HU 47089	A2	19890130	HU 1984-4018	19830929
	HU 199811	B	19900328		
	JP 59093047	A2	19840529	JP 1983-182920	19830930
	CA 1234809	A1	19880405	CA 1983-438362	19830930
	IN 161199	A	19871017	IN 1985-MA65	19850125
	ES 543661	A1	19861201	ES 1985-543661	19850530
	CA 1245647	A1	19881129	CA 1985-483716	19850612
	AU 8547084	A1	19860424	AU 1985-47084	19850903
	AU 574832	B2	19880714		
	AU 8547085	A1	19870305	AU 1985-47085	19850903
	AU 588827	B2	19890928		
	ZA 8507206	A	19860528	ZA 1985-7206	19850919
	ES 551422	A1	19870601	ES 1986-551422	19860130
	US 4642343	A	19870210	US 1986-835837	19860303
	US 4705853	A	19871110	US 1986-835805	19860303
	US 4727152	A	19880223	US 1986-835836	19860303
	FI 8601411	A	19860401	FI 1986-1411	19860401
	FI 78290	B	19890331		
	FI 78290	C	19890710		
	IN 163949	A	19881210	IN 1986-MA833	19861024
	US 4810795	A	19890307	US 1987-18661	19870225
	US 4812565	A	19890314	US 1987-18676	19870225
	FI 8802370	A	19880519	FI 1988-2370	19880519
	CA 1253145	A2	19890425	CA 1988-572363	19880718
	NO 9000132	A	19900110	NO 1990-132	19900110
PRAI	US 1982-431500		19820930		
	US 1983-527559		19830829		
	US 1984-652058		19840919		
	US 1982-431998		19820930		
	US 1983-527558		19830829		
	NO 1983-3297		19830914		
	FI 1983-3319		19830916		
	IL 1983-69760		19830918		
	IN 1985-MA65		19850125		
	CA 1985-483716		19850612		
	US 1985-746091		19850618		
	US 1986-835805		19860303		

OS CASREACT 106:67360

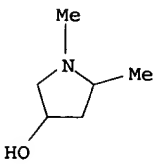
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, alkyl, cycloalkyl, (un)substituted phenylalkyl; R1, R2 = H, alkyl; R3 = amino, pyrazol-1-yl, imidazol-1-yl, imidazol-2-yl; 2-imidazolin-2-yl; X, X1 = O, S; n = 1-3; A = (un)substituted arom. ring selected from C6H6, naphthalene, quinoline, or pyridine] were prepd. as antihistaminics. Thus, 2-chloro-3-pyridinecarboxylic acid was treated with NaH and 1-methyl-3-**pyrrolidinol** to give Na 2-[(1-methyl-3-**pyrrolidinyl**)oxy]-3-pyridinecarboxylate. This was cyclized by treating with HCl and Ph3P in CCl4 to give pyrido[3,2-f][1,4]oxepin-5(4H)-one II.HCl (R4 = Cl, X2 = O). The latter was converted to thione II (R4 = Cl, X2 = S) which was aminolyzed with Me2NH to give II (R4 = Me2N, X2 = S), isolated as its fumarate (III). In cats 0.3 mg III/kg i.v. gave 50% inhibition of histamine-induced redn. in blood pressure. No sedative activity occurred at doses .ltoreq.20 mg/kg. Capsules were prepd. each contg. I 4, lactose 130, and Mg stearate 4 mg.

IT **89584-08-7**
RL: RCT (Reactant)
(reaction of)

RN 89584-08-7 CAPLUS

CN 3-Pyrrolidinol, 1,5-dimethyl- (7CI, 9CI) (CA INDEX NAME)



09/700278

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        141667 IODIDE
L2         731 SAMARIUM IODIDE
               (SAMARIUM(W)IODIDE)

=> s l2 and (sulfonimidoyl or desulfur?)
            63 SULFONIMIDOYL
         47724 DESULFUR?
L3           7 L2 AND (SULFONIMIDOYL OR DESULFUR?)

=> d 1-7 bib abs kwic

L3   ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2002 ACS
AN   2001:905544  CAPLUS
DN   136:294703
TI   SmI2-promoted tandem desulfurization and reductive coupling
     reactions of aromatic lactams with carbonyl compounds
AU   Yoda, Hidemi; Ujihara, Yasuaki; Takabe, Kunihiko
CS   Department of Molecular Science, Faculty of Engineering, Shizuoka
     University, Johoku, Hamamatsu, 432-8561, Japan
SO   Tetrahedron Letters (2001), 42(52), 9225-9228
     CODEN: TELEAY; ISSN: 0040-4039
PB   Elsevier Science Ltd.
DT   Journal
LA   English
AB   Treatment of S-substituted arom. lactams with carbonyl compds. in the
     presence of Sm(II) diiodide was found to undergo novel tandem
     desulfurization and reductive coupling reactions to generate
     .alpha.-hydroxyalkylated lactams in high yield.  Stereochem. of the
     coupling products was researched and the results that decreasing the
     steric bulkiness of the N-substituents as well as raising the reaction
     temp. increases the erythro-selectivity were obsd.  The mechanistic
     origins of this stereoselectivity are also briefly documented.
RE.CNT  48    THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI   SmI2-promoted tandem desulfurization and reductive coupling
     reactions of aromatic lactams with carbonyl compounds
AB   Treatment of S-substituted arom. lactams with carbonyl compds. in the
     presence of Sm(II) diiodide was found to undergo novel tandem
     desulfurization and reductive coupling reactions to generate
     .alpha.-hydroxyalkylated lactams in high yield.  Stereochem. of the
     coupling products was researched and the results that decreasing the
     steric bulkiness of the N-substituents as well as raising the reaction
     temp. increases the erythro-selectivity were obsd.  The mechanistic
     origins of this stereoselectivity are also briefly documented.
ST   samarium iodide promoted desulfurization
     reductive coupling lactam; hydroxyalkylated lactam prepn samarium
     iodide promoted; stereoselectivity desulfurization
     reductive coupling lactam ketone aldehyde; steric bulk
     desulfurization reductive coupling lactam
IT   Stereoselective synthesis
        (of hydroxyalkylated lactams by samarium iodide
        promoted desulfurization and reductive coupling reactions)
IT   Coupling reaction
        (reductive; samarium iodide-promoted tandem
        desulfurization and reductive coupling reactions of arom.
        lactams with carbonyl compds.)
IT   Desulfurization
        (samarium iodide-promoted tandem
        desulfurization and reductive coupling reactions of arom.
        lactams with carbonyl compds.)
IT   Carbonyl compounds (organic), reactions
     Lactams
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (samarium iodide-promoted tandem
        desulfurization and reductive coupling reactions of arom.
        lactams with carbonyl compds.)
IT   270926-32-4P   270926-37-9P   408325-04-2P   408325-05-3P   408325-06-4P
     408325-07-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. by samarium iodide-promoted tandem
        desulfurization and reductive coupling reactions of arom.
        lactams with carbonyl compds.)
IT   67-64-1, Dimethyl ketone, reactions   98-86-2, Methyl phenyl ketone,
     reactions   107-87-9, Methyl propyl ketone   111-71-7, Heptanal   550-44-7
     2142-01-0   102466-93-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
```

(**samarium iodide**-promoted tandem **desulfurization** and reductive coupling reactions of arom. lactams with carbonyl compds.)

IT 200411-13-8P 200411-14-9P 222713-05-5P 408325-00-8P 408325-01-9P 408325-03-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**samarium iodide**-promoted tandem **desulfurization** and reductive coupling reactions of arom. lactams with carbonyl compds.)

IT 32248-43-4, Samarium diiodide
RL: RGT (Reagent); RACT (Reactant or reagent)
(**samarium iodide**-promoted tandem **desulfurization** and reductive coupling reactions of arom. lactams with carbonyl compds.)

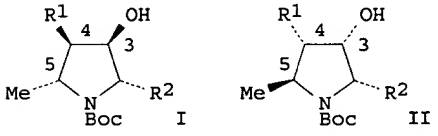
L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2002 ACS
AN 2000:758692 CAPLUS
DN 134:71445
TI Distant Functionalization via Incorporation of Thiophene Moieties in Electrophilic Reactions Promoted by Samarium Diiodide
AU Yang, Shyh-Ming; Nandy, Sandip Kumar; Selvakumar, Anandakathir Robinson; Fang, Jim-Min
CS Department of Chemistry, National Taiwan University, Taipei, 106, Taiwan
SO Organic Letters (2000), 2(23), 3719-3721
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:71445
AB Me thiophene-2-carboxylate, Me 3-(thien-2-yl)acrylate, and Me 5,2'-bithiophene-2-carboxylate were utilized as the synthetic equiv. of pentanoate 5-anion, pentanoate 4,5-dianion, heptanoate 7-anion, and nonanoate-8,9-dianion. By the promotion of samarium diiodide, these thiophene-incorporating compds. reacted with aldehydes, ketones, and conjugated esters regioselectively at the thienyl rings. Long-chain esters with remote hydroxyl and carboxyl groups, including an antiarthritis agent, a shellac component, and an inhibitory agent of spore germination, were prepd. after reductive **desulfurization** on Raney nickel.
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB Me thiophene-2-carboxylate, Me 3-(thien-2-yl)acrylate, and Me 5,2'-bithiophene-2-carboxylate were utilized as the synthetic equiv. of pentanoate 5-anion, pentanoate 4,5-dianion, heptanoate 7-anion, and nonanoate-8,9-dianion. By the promotion of samarium diiodide, these thiophene-incorporating compds. reacted with aldehydes, ketones, and conjugated esters regioselectively at the thienyl rings. Long-chain esters with remote hydroxyl and carboxyl groups, including an antiarthritis agent, a shellac component, and an inhibitory agent of spore germination, were prepd. after reductive **desulfurization** on Raney nickel.
IT Addition reaction
(electrophilic; prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)
IT Carboxylic acids, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(esters; prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)
IT 315706-58-2P 315706-59-3P 315706-60-6P
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)
IT 315706-38-8P 315706-44-6P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)
IT 188941-54-0P 315706-53-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)
IT 66-25-1, Hexanal 99-91-2 104-87-0, p-Tolualdehyde 108-94-1,

Cyclohexanone, reactions 120-92-3, Cyclopentanone 122-00-9 123-11-5, p-Anisaldehyde, reactions 124-19-6, Nonanal 832-01-9, Methyl 4-methoxycinnamate 3453-33-6, 6-Methoxy-2-naphthaldehyde 3515-21-7 5380-42-7, Methyl 2-thiophenecarboxylate 18707-60-3, Methyl crotonate 20883-96-9, Methyl 3-(2-thienyl)acrylate
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)

IT 188941-59-5P 188941-60-8P 188941-70-0P 315706-32-2P 315706-33-3P 315706-34-4P 315706-35-5P 315706-36-6P 315706-37-7P 315706-39-9P 315706-40-2P 315706-41-3P 315706-42-4P 315706-43-5P 315706-63-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)

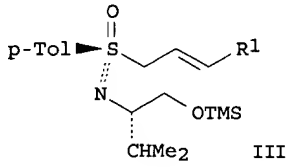
IT 38048-96-3P 54576-15-7P 86233-89-8P 315706-45-7P 315706-46-8P 315706-47-9P 315706-48-0P 315706-49-1P 315706-50-4P 315706-51-5P 315706-52-6P 315706-54-8P 315706-55-9P 315706-56-0P 315706-57-1P 315706-61-7P 315706-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of long-chain alkanoic acid esters via **samarium iodide**-mediated reactions of thiophenecarboxylate and thiopheneacrylate)

L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS
AN 1998:745666 CAPLUS
DN 130:95445
TI Metalated 2-Alkenylsulfoximides in asymmetric synthesis: diastereoselective preparation of highly substituted pyrrolidine derivatives
AU Reggelin, Michael; Heinrich, Timo
CS Fachbereich Chemie Universitat, Frankfurt/Main, D-60439, Germany
SO Angewandte Chemie, International Edition (1998), 37(20), 2883-2886
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
GI



I

II



III

AB The stereoselective synthesis of enantiomerically pure, highly substituted pyrrolidine derivs. I and II (R1 = H, Me; R2 = CH2Ph, CH2CHMe2, CH2OCMe3) starting from valine-derived alkenylsulfoximides III (p-Tol = 4-MeC6H4) and their enantiomers is described. Thus, lithiation of III, followed by transmetalation with ClTi(OCHMe2)3 and reaction with 9-fluorenylmethoxycarbonyl (Fmoc)-protected .alpha.-amino aldehydes, piperidine-promoted deprotection, cyclization, re-protection with Boc2O, and **desulfuration** with SmI2 in MeOH gave heterocycles I. The abs. configuration at the newly formed stereogenic centers C-3 and C-4 is controlled by the abs. configuration at sulfur, and the configuration at C-5 is a result of conformational preferences of the cyclization precursor.

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The stereoselective synthesis of enantiomerically pure, highly substituted pyrrolidine derivs. I and II (R1 = H, Me; R2 = CH2Ph, CH2CHMe2, CH2OCMe3) starting from valine-derived alkenylsulfoximides III (p-Tol = 4-MeC6H4) and their enantiomers is described. Thus, lithiation of III, followed by transmetalation with ClTi(OCHMe2)3 and reaction with 9-fluorenylmethoxycarbonyl (Fmoc)-protected .alpha.-amino aldehydes, piperidine-promoted deprotection, cyclization, re-protection with Boc2O, and **desulfuration** with SmI2 in MeOH gave heterocycles I. The abs. configuration at the newly formed stereogenic centers C-3 and C-4 is controlled by the abs. configuration at sulfur, and the configuration at C-5 is a result of conformational preferences of the cyclization precursor.

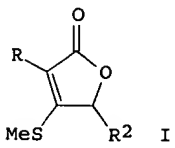
ST asym synthesis highly substituted pyrrolidine; stereoselective aldol alkenylsulfoximide titanium anion protected amino aldehyde; reductive **desulfurization** pyrrolidinylmethylsulfoximide **samarium iodide**

IT **Desulfurization**
(reductive; **samarium iodide** reductive **desulfurization** in diastereoselective prepn. of highly substituted pyrrolidine derivs.)

IT 13813-25-7, **Samarium iodide**
RL: RCT (Reactant); RACT (Reactant or reagent)
(**samarium iodide** reductive **desulfurization** in diastereoselective prepn. of highly substituted pyrrolidine derivs.)

L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS
AN 1994:408268 CAPLUS
DN 121:8268
TI Reactions of RNCO and RNCS promoted by SmI2
AU Liu, Yunshan; Bei, Meizhi
CS Dep. Chem., Nanjing Norm. Univ., Nanjing, 210024, Peop. Rep. China
SO Youji Huaxue (1994), 14(1), 34-8
CODEN: YCHHDX; ISSN: 0253-2786
DT Journal
LA Chinese
OS CASREACT 121:8268
AB The SmI2/THF/HMPA system can promote the reductive coupling reaction of RNCO (R = Ph, substituted Ph) successfully to give the oxalic diamides at room temp. in good yields. The same system can also promote the cross-coupling reaction of PhNCO with PhCOCl and alkyl halides to give amides. But R1NCS (R1 = Ph, 4-tolyl, Bu) were **desulfurized** to give isocyanides in high yields under the similar conditions.
AB The SmI2/THF/HMPA system can promote the reductive coupling reaction of RNCO (R = Ph, substituted Ph) successfully to give the oxalic diamides at room temp. in good yields. The same system can also promote the cross-coupling reaction of PhNCO with PhCOCl and alkyl halides to give amides. But R1NCS (R1 = Ph, 4-tolyl, Bu) were **desulfurized** to give isocyanides in high yields under the similar conditions.
ST isocyanate coupling **samarium iodide**; isothiocyanate **desulfurization samarium iodide**
IT **Desulfurization**
(of aryl isothiocyanates, in presence of samarium diiodide)
IT 103-72-0, Phenyl isothiocyanate 592-82-5, n-Butyl isothiocyanate 622-59-3, p-Tolyl isothiocyanate 3878-45-3, Triphenylphosphine sulfide
RL: RCT (Reactant); RACT (Reactant or reagent)
(**desulfurization** of, in presence of samarium diiodide)

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2002 ACS
AN 1994:106672 CAPLUS
DN 120:106672
TI Samarium diiodide-promoted reductive cleavage of carbon-sulfur bonds: a novel stereoselective generation of functionalized vinylsamarium species and synthesis of .beta.-thiobutenolides
AU Hojo, Makoto; Harada, Hajime; Yoshizawa, Junji; Hosomi, Akira
CS Dep. Chem., Univ. Tsukuba, Tsukuba, 305, Japan
SO Journal of Organic Chemistry (1993), 58(24), 6541-2
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 120:106672
GI



I

AB Alkoxycarbonylketene dithioacetals EtO2CR:C(SMe)2 (R = Et, Bu, CHMe2, allyl, Ph) are cleanly reduced by SmI2 to provide a new and efficient method for the stereoselective generation of the corresponding novel highly functionalized vinylsamarium species, otherwise inaccessible, which react with a proton, allyl bromide, and aldehydes. Using this reductive cleavage of a carbon (sp2)-sulfur bond by SmI2, a formal substitution reaction of a methylthio group by an electrophile can be attained to give reduced or allyl-substituted products EtO2CCR:CR1SMe (R1 = H, allyl); this

reactivity is opposite that of functionalized ketene dithioacetals. Furthermore, an efficient synthesis of .beta.-thiobutenolides I (R2 = Et, PhCH2CH2, Me2CH, Me3C, Ph, 4-MeOC6H4) by the reaction of these vinylsamarium species with carbonyl compds. R2CHO can be accomplished.

ST reductive **desulfurization** ketene dithioacetal samarium; vinylsamarium cyclocondensation aldehyde; electrophilic allylation vinylsamarium; butenolide methylthio

IT Aldehydes, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with ketene dithioacetals, butenolides from **samarium iodide**-promoted)

IT Mercaptals and Mercaptoles
RL: RCT (Reactant); RACT (Reactant or reagent)
(ketene, reductive **desulfurization**, allylation, or cyclocondensation with aldehydes, **samarium iodide** -promoted)

IT **Desulfurization**
(reductive, of ketene dithioacetals with samarium diiodide)

IT 32248-43-4, Samarium diiodide
RL: RCT (Reactant); RACT (Reactant or reagent)
(agent, for reductive **desulfurization**, allylation, or cyclocondensation of ketene dithioacetals with aldehydes)

IT 78-84-2, Isobutyraldehyde 100-52-7, Benzaldehyde, reactions 104-53-0, 3-Phenylpropanal 123-11-5, 4-Methoxybenzaldehyde, reactions 123-38-6, Propionaldehyde, reactions 630-19-3, Pivaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with ketene dithioacetals, butenolides from **samarium iodide**-promoted)

IT 124658-68-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reductive **desulfurization** of, stereochem. of **samarium iodide**-promoted)

IT 124658-66-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., reductive **desulfurization**, allylation, or cyclocondensation with aldehydes, **samarium iodide** -promoted)

IT 5841-53-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., reductive **desulfurization**, or cyclocondensation with pivaldehyde, **samarium iodide**-promoted)

IT 132767-06-7P 152299-44-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., reductive **desulfurization**, or cyclocondensation with propionaldehyde, **samarium iodide**-promoted)

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2002 ACS
AN 1994:76910 CAPLUS
DN 120:76910
TI Preparation of isonitriles from isothiocyanates
IN Fujiwara, Juzo; Takagi, Ken
PA Sumitomo Chemical Co, Japan
SO Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 05246975	A2	19930924	JP 1992-50612	19920309
JP 3010883	B2	20000221		

OS CASREACT 120:76910; MARPAT 120:76910
AB RNC [I; R = (cyclo)alkyl, aryl, aralkyl] are prepd. by treating RNCS (R = same as I) with lanthanide halides. A mixt. of PhNCS and HMPA was treated with SmI2 in THF under reflux for 30 min to give 83% PhNC.

ST isonitrile prepn; isothiocyanate **desulfurization** lanthanide halide

IT Rare earth halides
RL: RCT (Reactant); RACT (Reactant or reagent)
(in **desulfurization** of isothiocyanates)

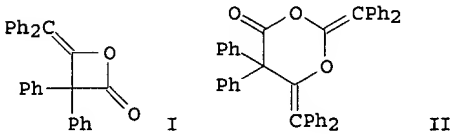
IT **Desulfurization**
(of isothiocyanates, with lanthanide halides)

IT 103-72-0, Phenyl isothiocyanate 592-82-5 622-59-3, p-Tolyl isothiocyanate
RL: RCT (Reactant); RACT (Reactant or reagent)
(**desulfurization** of, isonitrile from)

IT 32248-43-4, **Samarium iodide** (SmI2)

RL: RCT (Reactant); RACT (Reactant or reagent)
(in **desulfurization** of isothiocyanates)

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2002 ACS
AN 1994:8253 CAPLUS
DN 120:8253
TI Reduction of heterocumulenes promoted by low-valent lanthanoids
AU Makioka, Yoshikazu; Liu, Yunshan; Bei, Beizhi; Zhou, Zhihua; Shindo, Takaaki; Taniguchi, Yuki; Takaki, Ken; Fujiwara, Yuzo
CS Fac. Eng., Hiroshima Univ., Higashi-Hiroshima, 724, Japan
SO Nippon Kagaku Kaishi (1993), (5), 475-81
CODEN: NKAKB8; ISSN: 0369-4577
DT Journal
LA Japanese
OS CASREACT 120:8253
GI



I

II

AB Heterocumulenes react with lanthanoid reductants such as Yb metal, YbCl3/Zn, and SmI2. In THF or THF-hexamethylphosphoric triamide (HMPA), diphenylketene is reduced with Yb or YbCl3/Zn to give Ph2C:C:CPh2, lactone I, Ph2C:CH(OCOCHPh2), and dioxane II. Isocyanates are reduced with SmI2 to produce oxamides in moderate to good yields. The SmI2/THF/HMPA system **desulfurizes** isothiocyanates under mild conditions to give isonitriles in good yields.
AB Heterocumulenes react with lanthanoid reductants such as Yb metal, YbCl3/Zn, and SmI2. In THF or THF-hexamethylphosphoric triamide (HMPA), diphenylketene is reduced with Yb or YbCl3/Zn to give Ph2C:C:CPh2, lactone I, Ph2C:CH(OCOCHPh2), and dioxane II. Isocyanates are reduced with SmI2 to produce oxamides in moderate to good yields. The SmI2/THF/HMPA system **desulfurizes** isothiocyanates under mild conditions to give isonitriles in good yields.
ST phenylketene redn lanthanoid reductant; isonitrile; isocyanate redn **samarium iodide**; isothiocyanate **desulfurization**
samarium iodide
IT **Desulfurization**
(of isothiocyanates and thioketones, by lanthanoid compds.)
IT 103-72-0 622-59-3 628-30-8 1226-46-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(**desulfurization** of)
IT 7440-64-4, Ytterbium, reactions 32248-43-4, **Samarium iodide** (SmI2)
RL: RCT (Reactant); RACT (Reactant or reagent)
(redn. of diphenylketene by)

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  - L2: (0) l1 and sulfonimidoyl
  - L3: (0) l1 and desulfurisation

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samarium near iodide

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	U	1	Document ID	Issue Date	Pages	Title	Current OR	Current XRef
64	☐	☐	US 5726247 A	19980310	32	Fluoropolymer nanocomposites	525/102	428/421; 428/422;
65	☐	☐	US 5686478 A	19971111	42	Endothelin antagonists	514/382	514/464; 514/466;
66	☐	☐	US 5684131 A	19971104	11	Substituted benzhydrylamines as handles for solid phase peptide	530/334	530/333; 562/442
67	☐	☐	US 5646183 A	19970708	23	Phenyl amidine alkanoic acids useful as platelet aggregation inhibitors	514/538	514/539; 560/35;
68	☐	☐	US 5637595 A	19970610	13	Cyclic ether acetal PAF antagonists	514/303	514/234.2; 514/235.5;
69	☐	☐	US 5616732 A	19970401	29	Intermediates for difluoroprostacyclins and methods for their production	549/305	549/465
70	☐	☐	US 5616312 A	19970401	5	Thiol ligands and complexes for X-ray imaging	424/9.364	424/9.365; 436/173;
71	☐	☐	US 5612355 A	19970318	20	Phenyl amidine lactones useful as platelet aggregation inhibitors	514/336	514/422; 514/444;
72	☐	☐	US 5550233 A	19960827	89	Aryl, alkyl, alkenyl and alkynylmacrolides having immunosuppressive activity	540/456	540/450
73	☐	☐	US 5548051 A	19960820	21	Single component inorganic/organic network materials and precursors thereof	528/15	528/24; 528/35;
74	☐	☐	US 5538995 A	19960723	25	Difluoroprostacyclins	514/469	549/311; 549/465
75	☐	☐	US 5504106 A	19960402	22	Phenyl amidine alkanoic acids and lactones useful as platelet aggregation	514/460	514/336; 514/451;
76	☐	☐	US 5472979 A	19951205	21	1,2,3,4-tetrahydronaphthalene compounds	514/562	514/357; 514/456;
77	☐	☐	US 5459198 A	19951017	11	Fluoroinfused composites, articles of manufacture formed therefrom, and	525/102	525/104; 525/105;
78	☐	☐	US 5441939 A	19950815	10	3"-desmethoxy derivatives of erythromycin and azithromycin	514/29	536/7.2; 536/7.5
79	☐	☐	US 5428168 A	19950627	27	Lactol PAF antagonists	546/118	544/335; 546/269.7;
80	☐	☐	US 5409937 A	19950425	15	Hexahydrofuro(2,3-b)furans as PAF antagonists	514/303	514/338; 514/394;
81	☐	☐	US 5378790 A	19950103	24	Single component inorganic/organic network materials and precursors thereof	528/35	427/387; 528/12;
82	☐	☐	US 5302601 A	19940412	39	5-substituted imidazo[4,5-c]pyridines	514/303	546/118
83	☐	☐	US 5286899 A	19940215	8	Process for the stereoselective transformation of a diol to an alcohol	560/180	544/170; 544/336;
84	☐	☐	US 5262533 A	19931116	39	Amino O-aryl macrolides having immunosuppressive activity	540/456	
85	☐	☐	US 5248827 A	19930928	10	Process for producing an ethylenamine	564/480	546/184; 546/246;
86	☐	☐	US 5219859 A	19930615	22	Indole derivatives, preparation processes and medicinal products	514/269	514/339; 514/415;
87	☐	☐	US 5189200 A	19930223	8	Process for the stereoselective transformation of a diol to an alcohol	560/180	549/34; 560/151;
88	☐	☐	US 5081252 A	19920114	8	Process for the preparation of aromatic carboxylic acids	546/102	546/147; 546/170;
89	☐	☐	US 5064835 A	19911112	10	Hydroxymacrolide derivatives having immunosuppressive activity	514/291	514/411; 514/63;
90	☐	☐	US 5057499 A	19911015	15	Avermectin derivatives	514/30	514/450; 536/7.1;
91	☐	☐	US 4996318 A	19910226	25	Amino-9,10-secosteroids useful for treating head injury, spinal cord trauma	544/295	540/450; 540/596;